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Effect of benzalkonium chloride/EDTA on the ocular bioavailability of ketorolac tromethamine following ocular instillation to normal and de-epithelialized corneas of rabbits.

Madhu C, Rix PJ, Shackleton MJ, Nguyen TG, Tang-Liu DD.

Department of Pharmacokinetics, Allergan, Inc., Irvine, CA 92713-9534, USA.

This study was designed to examine the effect of benzalkonium chloride/ethylenediaminetetraacetic acid (BAK/EDTA) on the ocular bioavailability (Focular) of ketorolac tromethamine after ocular instillation to normal and de-epithelialized corneas of rabbits both in vitro and in vivo. The in vitro Focular of the formulations was measured in flow-through perfusion chambers. For in vivo studies, a 35 microL dose of 0.5% ketorolac tromethamine with or without BAK/EDTA was instilled into rabbit eyes with intact or de-epithelialized corneas. At 0.5, 1, 2, 4, 6, and 8 h postdose, rabbits were euthanized, and the corneas and aqueous humor were collected from both eyes. The ketorolac concentrations from both in vivo and in vitro samples were quantified by reversed-phase high-performance liquid chromatography. The in vitro study results indicated that BAK/EDTA statistically significantly increased the Focular of ketorolac through de-epithelialized corneas but not through intact corneas. The in vivo study results showed that BAK/EDTA had no effect on the Focular of ketorolac in rabbits with intact corneas, based on the values of the area under the aqueous humor concentration versus time curves (AUC0-6h) of ketorolac. As expected, de-epithelialization of the corneas produced a faster and greater ocular absorption of ketorolac as evidenced by the smaller Tmax and larger AUC values compared to those for the intact corneas in vivo. However, BAK/EDTA decreased the ocular absorption of ketorolac in rabbits with de-epithelialized corneas. The half-lives (t 1/2) of ketorolac in corneal tissue and aqueous humor were longer in rabbits with intact corneas than those in rabbits with de-epithelialized corneas. In conclusion, the in vivo Focular of ketorolac was not altered by BAK/EDTA in rabbits with intact corneas, but it was decreased by BAK/EDTA in rabbits with de-epithelialized corneas. Therefore, the formulation with ketorolac alone may be better as a post-operative ocular analgesic.

PMID: 8901080 [PubMed - indexed for MEDLINE]

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NMDA antagonists as potential analgesic drugs

by Dalip J.S. Sirinathsinghji (Editor), Ray G. Hill (Editor)

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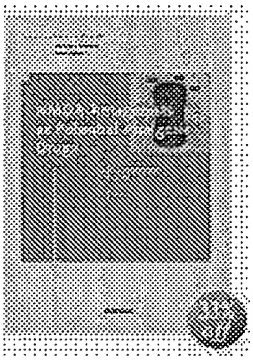

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CLINICALLY AVAILABLE NMDA ANTAGONIST, MEMANTINE, ATTENUATES TOLERANCE TO ANALGESIC EFFECTS OF MORPHINE IN A MOUSE TAIL FLICK TEST

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*Clinically available **NMDA antagonist**, memantine, attenuates tolerance to **analgesic** effects of morphine in a mouse tail flick test.* P. POPIK, E. KOZELA. Pol. J. Pharmacol., 1999, 51, 223-231.

Converging lines of evidence indicate that N-methyl-d-aspartate (**NMDA**) receptor antagonists attenuate the development of morphine tolerance tested in antinociception assays in rodents. The present study extends these findings to the effects of clinically available **NMDA** receptor **antagonist**, memantine. Male Albino Swiss mice were tested for analgesia using the tail-flick apparatus. Preliminary experiment was designed to find out the optimal dose of morphine and the number of injections that would produce tolerance to its **analgesic** effects. In the main experiment, during the development of tolerance period (6 days), mice received 10 mg/kg *sc* b.i.d. morphine injections in the animal room (non-associative tolerance). This treatment resulted in 5.8 fold rightward shift of morphine cumulative dose-response effect from 3.39 mg/kg on day 1 to 16.19 mg/kg on day 8 of the experiment. Memantine pretreatment (5 and 10 mg/kg, but not 2.5 mg/kg), given 30 min prior to each morphine dose during the development of tolerance period, inhibited the rightward shift of morphine cumulative dose-response curve. Thus, pretreatment with memantine at doses of 2.5, 5 and 10 mg/kg resulted in ED_{50} values of 12.13, 4.74 and 1.95 mg/kg, respectively, corresponding to 3.35, 1.02 and 0.94 fold changes. These data indicate that low affinity, clinically available **NMDA** receptor **antagonist**, memantine, may be used to inhibit the development of morphine tolerance.

***Key words: NMDA antagonist,** memantine, analgesia, tolerance, morphine*

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